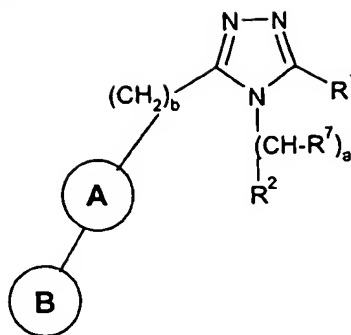


CLAIMS:

1. A compound of formula (I),



(I)

5

or a pharmaceutically acceptable salt or solvate thereof, wherein

R¹ represents C₁-C₈ alkyl, -(CH₂)_c-[C₃-C₈ cycloalkyl]-, -(CH₂)_c-W or -(CH₂)_c-Z-(CH₂)_d-W;

10

W represents C₁-C₆ alkyl, C₁-C₆ alkyloxy, -CO₂[C₁-C₈ alkyl], -CONR⁴R⁵, a phenyl group, NR⁴R⁵, het² or het³, the phenyl group being optionally substituted with one or more groups independently selected from halogen, CF₃, OCF₃, R³, OR³, CO₂R³, CONR⁴R⁵, CN, SO₂NR⁴R⁵ and NR³SO₂Me;

15

Z represents O or S(O)_g;

g represents 0, 1 or 2;

20

R² represents a phenyl group, optionally fused to a 5- or 6- membered aryl or heterocyclic group which may contain one or more heteroatoms selected from N, O or S; the phenyl group and the optionally fused group being optionally substituted with one or more groups independently selected from the list defined below;

25

Ring A represents a 4-, 5- or 6- membered saturated heterocyclic group containing at least one N;

Ring B represents a phenyl group or het¹, each group being optionally substituted with one or more groups independently selected from the list defined below;

R⁷ independently represents H, C₁-C₈ alkyl, OR³, -(CH₂)₆-R³ or -(CH₂)₇-O-(CH₂)₆-R³;

at each occurrence R³ independently represents H, C₁-C₆ alkyl optionally substituted by Y,
5 -(CH₂)₉-[C₃-C₈ cycloalkyl], phenyl, benzyl, pyridyl or pyrimidyl;

at each occurrence R⁴ and R⁵ independently represent H, C₁-C₆ alkyl (optionally substituted with C₁-C₆ alkyloxy), (CH₂)₉CO₂-[C₁-C₆ alkyl], -SO₂Me, -(CH₂)₉-[C₃-C₈ cycloalkyl], SO₂Me, phenyl, benzyl, pyridyl or pyrimidyl; or R⁴ and R⁵ together with the N
10 atom to which they are attached represent a heterocyclic group of from 3 to 8 atoms;

Y independently represents a phenyl group, NR⁴R⁵ or het⁴, the phenyl group being optionally substituted with one or more groups independently selected from halogen, CF₃, OCF₃, R⁴, OR⁴, CO₂R⁴, CONR⁴R⁵, CN, SO₂NR⁴R⁵, NR⁴SO₂Me and -NR⁴R⁵;
15

het¹ represents a 4-, 5- or 6- membered saturated, or unsaturated, heterocyclic group containing at least one N (but which may also contain one or more O or S atoms);

het² represents a 4-, 5-, 6- or 7- membered saturated, or unsaturated, heterocyclic group
20 containing at least one N (but which may also contain one or more O or S atoms), optionally substituted with one or more groups independently selected from the list defined below;

het³ represents a 4-, 5-, 6- or 7- membered saturated, or unsaturated, heterocyclic group
25 containing at least one O (but which may also contain one or more N or S atoms), optionally substituted with one or more groups independently selected from the list defined below;

het⁴ represents a 4-, 5-, 6- or 7- membered saturated or unsaturated heterocyclic group
30 containing at least one N (but which may also contain one or more O or S atoms), optionally substituted with one or more groups independently selected from the list defined below;

substituents for R², Ring B, het¹, het², het³ and het⁴ are independently selected from the
35 following list: halogen, CF₃, OCF₃, R³, -(CH₂)₆-SO₂Me, -(CH₂)₆-OR³, -(CH₂)₆-CO₂R³, -

$(\text{CH}_2)_e\text{-CONR}^4\text{R}^5$, $-(\text{CH}_2)_e\text{-CN}$, $-(\text{CH}_2)_e\text{-SO}_2\text{NR}^4\text{R}^5$, $-(\text{CH}_2)_e\text{-NR}^3\text{SO}_2\text{Me}$, $-(\text{CH}_2)_e\text{-COR}^3$, $-(\text{CH}_2)_e\text{-OCOR}^3$, $-(\text{CH}_2)_e\text{-NHCOR}^3$, $-(\text{CH}_2)_e\text{-NR}^3\text{COR}^6$ and $-(\text{CH}_2)_e\text{NR}^4\text{R}^5$;
 at each occurrence R^6 independently represents H, $\text{C}_1\text{-C}_6$ alkyl optionally substituted by Y,
 $-(\text{CH}_2)_g\text{-[C}_3\text{-C}_8\text{ cycloalkyl]}$, phenyl, benzyl, pyridyl or pyrimidyl;

5

a and b independently represent 0 or 1;

c, d, e and g independently represent 0, 1, 2, 3 or 4;

10 f independently represents 1, 2, 3 or 4;

provided that:

(i) a + b cannot equal 0; and

15

(ii) provided that when R^1 represents $-(\text{CH}_2)_c\text{-Z-(CH}_2)_d\text{-W}$ and W represents NR^4R^5 or any N linked heterocyclic group then d must not be 0 or 1; and

20

(iii) provided that when R^2 represents a phenyl group substituted by a group of formula $-(\text{CH}_2)_e\text{OR}^3$, $-(\text{CH}_2)_e\text{-CO}_2\text{R}^3$ or $-(\text{CH}_2)_e\text{OCOR}^3$; or het^1 and/or het^2 are substituted by a group of formula $-(\text{CH}_2)_e\text{OR}^3$, $-(\text{CH}_2)_e\text{-CO}_2\text{R}^3$ or $-(\text{CH}_2)_e\text{OCOR}^3$; or

when R^7 represents $-\text{OR}^3$ or $-(\text{CH}_2)_f\text{-O-(CH}_2)_e\text{-R}^3$ and e is 0; or

when W represents a phenyl group substituted with $-\text{OR}^3$ or -

25

CO_2R^3 ; and

R^3 represents an alkyl group substituted with Y, and Y represents NR^4R^5 or an N-linked het^3 ;

then R^3 must represent $\text{C}_2\text{-C}_6$ alkyl substituted with Y.

30 2. A compound according to claim 1, wherein R^2 is a phenyl group optionally substituted with one or more groups selected from halogen or $-(\text{CH}_2)_e\text{-OR}^3$.

3. A compound according to claim 1 or claim 2, wherein ring A is selected from piperidindiyl, piperazindiyl, azetidindiyl or pyrrolidindiyl.

35

4. A compound according to claim 3, wherein ring A is piperidindyl.
5. A compound according to any of the preceding claims, wherein Z is O.
6. A compound according to any of the preceding claims, wherein het¹ is selected from
5 optionally substituted pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, triazinyl, triazolyl, tetrazolyl, pyrrolyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, piperidinyl, piperazinyl, azetidiny, morpholinyl, 2-oxa-5-aza-bicyclo[2.2.1]heptanyl or pyrrolidinyl.
7. A compound according to any claim 6, wherein het¹ is selected from pyridinyl or
10 pyrimidinyl, optionally by R³.
8. A compound according to any of the preceding claims, wherein het² is selected from substituted or unsubstituted pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, triazinyl, triazolyl, tetrazolyl, pyrrolyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, piperidinyl,
15 piperazinyl, N-methyl piperazinyl, azetidiny, morpholinyl, 2-oxa-5-aza-bicyclo[2.2.1]heptanyl or pyrrolidinyl.
9. A compound according to claim 8, wherein het² is selected from imidazolyl, piperidinyl, piperazinyl, N-methyl piperazinyl, azetidiny, morpholinyl, 2-oxa-5-aza-
20 bicyclo[2.2.1]heptanyl or pyrrolidinyl.
10. A compound according to any of the preceding claims, wherein a is 1 and b is 0.
11. A compound according to claim 1, which is selected from
25 (S)-4-[5-Butyl-4-(1-phenyl-ethyl)-4H-[1,2,4]triazol-3-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl;
2-[4-(4-Benzyl-5-isobutyl-4H-[1,2,4]triazol-3-yl)-piperidin-1-yl]-pyrimidine;
(S)-4-[5-Methyl-4-(1-phenyl-ethyl)-4H-[1,2,4]triazol-3-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl;
30 4-[4-Benzyl-5-butyl-4H-[1,2,4]triazol-3-yl]-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl;
2-[4-(4-Benzyl-5-isopropyl-4H-[1,2,4]triazol-3-yl)-piperidin-1-yl]-pyrimidine;
2-[4-(4-Benzyl-5-cyclopropyl-4H-[1,2,4]triazol-3-yl)-piperidin-1-yl]-pyrimidine;
(S)-2-[4-[5-Methyl-4-(1-phenyl-propyl)-4H-[1,2,4]triazol-3-yl]-piperidin-1-yl]-
pyrimidine;
35 2-[4-(4-Benzyl-5-propyl-4H-[1,2,4]triazol-3-yl)-piperidin-1-yl]-pyrimidine;

Example 16: 4-(4-Benzyl-5-morpholin-4-ylmethyl-4H-[1,2,4]triazol-3-yl)-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl

¹H NMR (400MHz, CD₃OD): δ 1.74 (m, 2H), 1.88 (m, 2H), 2.21 (m, 4H), 2.82 (m, 2H), 2.99 (m, 1H), 3.53 (m, 4H), 3.62 (s, 2H), 4.29 (m, 2H), 6.63 (m, 1H), 6.80 (d, 1H), 7.13 (d, 2H), 7.38 (m, 3H), 7.54 (m, 1H), 8.06 (d, 1H).

LRMS: m/z APCI 419[M+H]⁺

Found; C, 68.53; H, 7.25; N, 19.79; C₂₄H₃₀N₆O requires C, 68.87; H, 7.22; N, 20.08%.

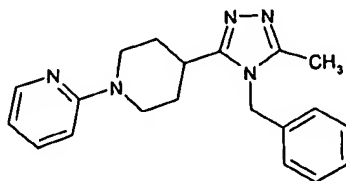
Example 17: 4-(4-Benzyl-5-benzyloxymethyl-4H-[1,2,4]triazol-3-yl)-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl

¹H NMR (400MHz, CD₃OD): δ 1.68 (m, 2H), 1.84 (m, 2H), 2.81 (m, 2H), 2.98 (m, 1H), 4.26 (m, 2H), 4.53 (s, 2H), 4.67 (s, 2H), 5.35 (s, 2H), 6.64 (m, 1H), 6.81 (d, 1H), 7.13 (m, 2H), 7.31 (m, 8H), 7.54 (m, 1H), 8.03 (d, 1H).

LRMS: m/z APCI 440[M+H]⁺

Found; C, 72.67; H, 6.67; N, 15.87; C₂₇H₂₉N₅O 0.3 H₂O requires; C, 72.88; H, 6.71; N, 15.74%.

Example 18: 4-(4-Benzyl-5-methyl-4H-[1,2,4]triazol-3-yl)-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl



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The piperidine from preparation 32 (200 mg, 0.6 mmol) was mixed with 2-chloropyridine (60 µl, 0.6 mmol) and diisopropyl ethylamine (310 µl, 1.8 mmol) in N-methylpyrrolidinone (5 ml) and the mixture was heated to 140°C for 18 hours. The reaction mixture was cooled to room temperature, added to water (150 ml) and acidified with 2N hydrochloric acid. The aqueous solution was washed with ethyl acetate (3x100 ml), basified with solid sodium carbonate, filtered through Hyflo Super Cel® and extracted with ethyl acetate (3x20 ml). The combined organic layers were dried over sodium sulphate and evaporated under reduced pressure. The residual orange oil was purified by chromatography on silica gel using methanol in dichloromethane as eluant (6:94) to give the title compound as an orange oil (10 mg).

30

Example 16: 4-(4-Benzyl-5-morpholin-4-ylmethyl-4*H*-[1,2,4]triazol-3-yl)-3,4,5,6-tetrahydro-2*H*-[1,2']bipyridinyl

¹H NMR (400MHz, CD₃OD): δ 1.74 (m, 2H), 1.88 (m, 2H), 2.21 (m, 4H), 2.82 (m, 2H), 2.99 (m, 1H), 3.53 (m, 4H), 3.62 (s, 2H), 4.29 (m, 2H), 6.63 (m, 1H), 6.80 (d, 1H), 7.13 (d, 2H), 7.38 (m, 3H), 7.54 (m, 1H), 8.06 (d, 1H).

LRMS: m/z APCI 419[M+H]⁺

Found; C, 68.53; H, 7.25; N, 19.79; C₂₄H₃₀N₆O requires C, 68.87; H, 7.22; N, 20.08%.

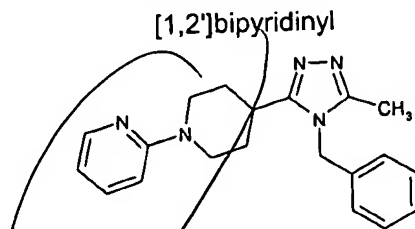
Example 17: 4-(4-Benzyl-5-benzyloxymethyl-4*H*-[1,2,4]triazol-3-yl)-3,4,5,6-tetrahydro-2*H*-[1,2']bipyridinyl

¹H NMR (400MHz, CD₃OD): δ 1.68 (m, 2H), 1.84 (m, 2H), 2.81 (m, 2H), 2.98 (m, 1H), 4.26 (m, 2H), 4.53 (s, 2H), 4.67 (s, 2H), 5.35 (s, 2H), 6.64 (m, 1H), 6.81 (d, 1H), 7.13 (m, 2H), 7.31 (m, 8H), 7.54 (m, 1H), 8.03 (d, 1H).

LRMS: m/z APCI 440[M+H]⁺

Found; C, 72.67; H, 6.67; N, 15.87; C₂₇H₂₉N₅O 0.3 H₂O requires; C, 72.88; H, 6.71; N, 15.74%.

Example 18: 4-(4-Benzyl-5-methyl-4*H*-[1,2,4]triazol-3-yl)-3,4,5,6-tetrahydro-2*H*-[1,2']bipyridinyl



The piperidine from preparation 32 (200 mg, 0.6 mmol) was mixed with 2-chloropyridine (60 μl, 0.6 mmol) and diisopropyl ethylamine (310 μl, 1.8 mmol) in N-methylpyrrolidinone (5 ml) and the mixture was heated to 140°C for 18 hours. The reaction mixture was cooled to room temperature, added to water (150 ml) and acidified with 2N hydrochloric acid. The aqueous solution was washed with ethyl acetate (3x100 ml), basified with solid sodium carbonate, filtered through Hyflo Super Cel® and extracted with ethyl acetate (3x20 ml). The combined organic layers were dried over sodium sulphate and evaporated under reduced pressure. The residual orange oil was purified by chromatography on silica gel using methanol in dichloromethane as eluant (6:94) to give the title compound as an orange oil (10 mg).